TITLE: Preparation of N-glycyl-2-cyanopyrrolidines as DPP IV

inhibitors

INVENTOR(S):
Villhauer, Edwin Bernard

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.								APPLICATION NO.									
										WO 2001-EP6595								
WO	VO 2001096295				А3		20020516											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
		UZ,	VN,	YU,	ZA,	ZW												
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
TW	TW 583185				В		20040411 TW 2001-90113972							20010608				
CA	2411	2411778					2001	1220	CA 2001-2411778						20010611			
EP	1296974				A2	20030402			EP 2001-984014						20010611			
EP	1296	1296974			B1 20090805													
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
JP	JP 2004503531				Τ		2004	0205		JP 2	002-	5104	39		2	0010	611	
AT					Τ		2009	0815	AT 2001-984014					20010611				
US					В1		2002	0813	US 2001-879654									
US	US 20020193390						20021219			US 2002-176440					20020620			
PRIORIT	IORITY APPLN. INFO.:								1	US 2	000-	3257	43P		P 2	0000	613	
									1	US 2	000-	5923.	36		A 2	0000	613	
											001-					0010		
									1	US 2	001-	8796	54		A3 2	0010	612	
	ייי ייידאריי	T O TO .	D37 D	OD 11	0 57	ייי ארויי	7777	TT 2 D		NT T O	110 D	TODI	7 T T		т			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 136:37503

The present invention relates to the preparation of N-(substituted glycyl)-2-cyanopyrrolidines. Thus, 1-chloroacetyl-2-(S)-cyanopyrrolidine (synthetic preparation given) is reacted with 2-[(5-chloro-2-pyridinyl)amino]-1,1-dimethylethylamine in the presence of K2CO3 to give 1-[[[2-[(5-chloro-2-pyridinyl)amino]-1,1-dimethylethyl]amino]acetyl]-2-cyano-(S)-pyrrolidine. The prepared compds. inhibit DPP-IV (dipeptidyl-peptidase-IV) activity. They are therefore indicated for use as pharmaceuticals in inhibiting DPP-IV and in the treatment of conditions mediated by DPP-IV, such as non-insulin-dependent diabetes mellitus, arthritis, obesity, osteoporosis and further conditions of impaired glucose tolerance. Data for biol. activity of some of the prepared compds. were given.

IT 380831-65-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-glycyl-2-cyanopyrrolidines as DPP IV inhibitors)

RN 380831-65-2 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[2-[[4-[[4-(trifluoromethyl)-2-pyrimidinyl]amino]cyclohexyl]amino]acetyl]-, hydrochloride (1:2), (2S)-

(CA INDEX NAME)

Absolute stereochemistry.

●2 HCl